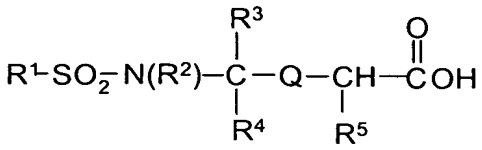
--1. (fourth amendment) A compound of formula I:



I

where

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 form a heterocyclic or a substituted heterocyclic group selected from the group consisting of thiazolidinyl, piperidinyl and pyrrolidinyl wherein said substituted heterocylcic group consists of from 1 to 2 substituents selected from the group consisting of fluoro, methyl, hydroxyl, amino, phenyl, thiophenyl and thiobenzyl;

R^4 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R^5 is selected from the group consisting of isopropyl, $-CH_2X$ and $=CH-X$ where X is selected from the group consisting of:

hydrogen,

hydroxyl,

acylamino,

alkyl,

alkoxy,

aryloxy,

aryl,

aryloxyaryl,

carboxyl,

carboxylalkyl,

carboxyl-substituted alkyl,

carboxyl-cycloalkyl,
carboxyl-substituted cycloalkyl,
carboxylaryl,
carboxyl-substituted aryl,
carboxylheteroaryl,
carboxyl-substituted heteroaryl,
carboxylheterocyclic,
carboxyl-substituted heterocyclic,
cycloalkyl,
substituted alkyl
substituted alkoxy,
substituted aryl,
substituted aryloxy,
substituted aryloxyaryl,
substituted cycloalkyl,
heteroaryl,
substituted heteroaryl,
heterocyclic,
and substituted heterocyclic;

wherein substituted aryl refers to aryl groups substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted

thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2$-NRR where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, $-NRS(O)_2$-substituted alkyl, $-NRS(O)_2$-aryl, $-NRS(O)_2$-substituted aryl, $-NRS(O)_2$-heteroaryl, $-NRS(O)_2$-substituted heteroaryl, $-NRS(O)_2$-heterocyclic, $-NRS(O)_2$-substituted heterocyclic, $-NRS(O)_2$-NR-alkyl, $-NRS(O)_2$-NR-substituted alkyl, $-NRS(O)_2$-NR-aryl, $-NRS(O)_2$-NR-substituted aryl, $-NRS(O)_2$-NR-heteroaryl, $-NRS(O)_2$-NR-substituted heteroaryl, $-NRS(O)_2$-NR-heterocyclic, $-NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups selected from the group consisting of Boc, Cbz, and formyl or substituted with $-SO_2$NRR where R is hydrogen or alkyl; and

substituted heteroaryl refers to heteroaryl groups substituted with from 1 to 3 substituents selected of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, aryloxy, substituted aryloxy, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy,

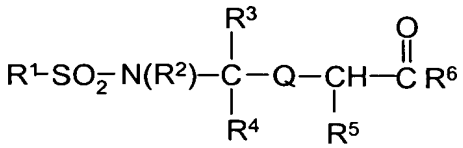
heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2$-NRR where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, $-NRS(O)_2$-substituted alkyl, $-NRS(O)_2$-aryl, $-NRS(O)_2$-substituted aryl, $-NRS(O)_2$-heteroaryl, $-NRS(O)_2$-substituted heteroaryl, $-NRS(O)_2$-heterocyclic, $-NRS(O)_2$-substituted heterocyclic, $-NRS(O)_2$-NR-alkyl, $-NRS(O)_2$-NR-substituted alkyl, $-NRS(O)_2$-NR-aryl, $-NRS(O)_2$-NR-substituted aryl, $-NRS(O)_2$-NR-heteroaryl, $-NRS(O)_2$-NR-substituted heteroaryl, $-NRS(O)_2$-NR-heterocyclic, $-NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups selected from the group consisting of Boc, Cbz, and formyl or substituted with $-SO_2NRR$ where R is hydrogen or alkyl;

with the proviso that when R^5 is $=CH-X$ then (H) is removed from the formula and X is not hydroxyl;

Q is -C(X')NR^7- wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X' is selected from the group consisting of oxygen and sulfur;

or pharmaceutically acceptable salts thereof.

2. (fourth amendment) A compound of formula IA below:



IA

where

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 form a heterocyclic or a substituted heterocyclic group selected from the group consisting of thiazolidinyl, piperidinyl and pyrrolidinyl wherein said substituted heterocylcic group consists of from 1 to 2 substituents selected from the group consisting of fluoro, methyl, hydroxyl, amino, phenyl, thiophenyl and thiobenzyl;

R^4 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R^5 is selected from the group consisting of isopropyl, $-CH_2X$ and $=CH-X$ where X is selected from the group consisting of:

hydrogen,

hydroxyl,

acylamino,

alkyl,

alkoxy,

aryloxy,

aryl,

aryloxyaryl,

carboxyl,

carboxylalkyl,

carboxyl-substituted alkyl,

carboxyl-cycloalkyl,

carboxyl-substituted cycloalkyl,

carboxylaryl,

carboxyl-substituted aryl,

carboxylheteroaryl,

carboxyl-substituted heteroaryl,

carboxylheterocyclic,

carboxyl-substituted heterocyclic,

cycloalkyl,

substituted alkyl

substituted alkoxy,

substituted aryl,

substituted aryloxy,

substituted aryloxyaryl,

substituted cycloalkyl,

heteroaryl,

substituted heteroaryl,

heterocyclic,

and substituted heterocyclic;

wherein substituted aryl refers to aryl groups substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, cycloalkoxy,

substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2$-NRR where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, $-NRS(O)_2$-substituted alkyl, $-NRS(O)_2$-aryl, $-NRS(O)_2$-substituted aryl, $-NRS(O)_2$-heteroaryl, $-NRS(O)_2$-substituted heteroaryl, $-NRS(O)_2$-heterocyclic, $-NRS(O)_2$-substituted heterocyclic, $-NRS(O)_2$-NR-alkyl, $-NRS(O)_2$-NR-substituted alkyl, $-NRS(O)_2$-NR-aryl, $-NRS(O)_2$-NR-substituted aryl, $-NRS(O)_2$-NR-heteroaryl, $-NRS(O)_2$-NR-substituted heteroaryl, $-NRS(O)_2$-NR-heterocyclic, $-NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups selected from the group consisting of Boc, Cbz, and formyl or substituted with $-SO_2NRR$ where R is hydrogen or alkyl; and

substituted heteroaryl refers to heteroaryl groups substituted with from 1 to 3 substituents selected of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, aryloxy, substituted aryloxy, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2$-NRR where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, $-NRS(O)_2$-substituted alkyl, $-NRS(O)_2$-aryl, $-NRS(O)_2$-substituted aryl, $-NRS(O)_2$-heteroaryl, $-NRS(O)_2$-substituted heteroaryl, $-NRS(O)_2$-heterocyclic, $-NRS(O)_2$-substituted heterocyclic, $-NRS(O)_2$-NR-alkyl, $-NRS(O)_2$-NR-substituted alkyl, $-NRS(O)_2$-NR-aryl, $-NRS(O)_2$-NR-substituted aryl, $-NRS(O)_2$-NR-heteroaryl, $-NRS(O)_2$-NR-substituted heteroaryl, $-NRS(O)_2$-NR-heterocyclic, $-NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl,

substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups selected from the group consisting of Boc, Cbz, and formyl or substituted with $-SO_2NRR$ where R is hydrogen or alkyl;

with the proviso that when R^5 is $=CH-X$ then (H) is removed from the formula and X is not hydroxyl;

R^6 is selected from the group consisting of amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, or substituted aryl, $-NH(CH_2)_pCOOY$ where *p* is an integer of from 1 to 8 and Y is as defined above, $-OCH_2NR^9R^{10}$ where R^9 is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R^{10} is selected from the group consisting of hydrogen and $-CH_2COOR^{11}$ where R^{11} is alkyl, and $-NHSO_2Z$ where Z is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic or substituted heterocyclic;

Q is $-C(X')NR^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X' is selected from the group consisting of oxygen and sulfur;

or pharmaceutically acceptable salts thereof

with the proviso that

when R^1 is *p*-methylphenyl, R^2 and R^3 are joined together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 to form a pyrrolidinyl ring, R^4 is methyl, R^5 is *p*-hydroxybenzyl then R^6 is not *t*-butoxy.

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12. (second amendment) The compound according to Claims 1 or 2 wherein R^5 is selected from the group consisting of 4-methylbenzyl, 4-hydroxybenzyl, 4-methoxybenzyl, 4-*t*-butoxybenzyl, 4-benzyloxybenzyl, 4-[ϕ-$CH(CH_3)O$-]benzyl, 4-[ϕ-CH(COOH)O-]-benzyl, 4-[$BocNHCH_2C(O)NH$-]benzyl, 4-chlorobenzyl, 4-[$NH_2CH_2C(O)NH$-]benzyl, 4-carboxybenzyl, 4-[$CbzNHCH_2CH_2NH$-]benzyl, 3-hydroxy-4-(ϕ-OC(O)NH-)benzyl, 4-[$HOOCCH_2CH_2C(O)NH$-]benzyl, benzyl, 4-[ϕ-C(O)NH-]benzyl, 3-carboxybenzyl,

4-iodobenzyl, 4-hydroxy-3,5-diiodobenzyl, 4-hydroxy-3-iodobenzyl, ϕ-CH_2CH_2-, 4-nitrobenzyl, 2-carboxybenzyl, 4-[dibenzylamino]-benzyl, 4-[(1′-cyclopropylpiperidin-4′-yl)-C(O)NH-]benzyl, 4-[-NHC(O)CH_2NHBoc]benzyl, 4-carboxybenzyl, 4-hydroxy-3-nitrobenzyl, 4-[-NHC(O)CH(CH_3)NHBoc]benzyl, 4-[-NHC(O)CH(CH_2ϕ)NHBoc]-benzyl, isobutyl, methyl, 4-[CH_3C(O)NH-]benzyl, -CH_2-(3-indolyl), *n*-butyl, *t*-butyl-OC(O)CH_2-, *t*-butyl-OC(O)CH_2CH_2-, H_2NC(O)CH_2-, H_2NC(O)CH_2CH_2-, BocNH-$(CH_2)_4$-, *t*-butyl-OC(O)-$(CH_2)_2$-, HOOCCH_2-, HOOC$(CH_2)_2$-, $H_2N(CH_2)_4$-, isopropyl, (1-naphthyl)-CH_2-, (2-naphthyl)-CH_2-, (2-thiophenyl)-CH_2-, ϕ-CH_2-OC(O)NH-$(CH_2)_4$-, cyclohexyl-CH_2-, benzyloxy-CH_2-, HOCH_2-, 5-(3-N-benzyl)imidazolyl-CH_2-, 2-pyridyl-CH_2-, 3-pyridyl-CH_2-, 4-pyridyl-CH_2-, 5-(3-N-methyl)imidazolyl-CH_2-, N-benzylpiperid-4-yl-CH_2-, N-Boc-piperidin-4-yl-CH_2-, N-(phenyl-carbonyl)piperidin-4-yl-CH_2-, $H_3CSCH_2CH_2$-, 1-N-benzylimidazol-4-yl-CH_2-, *iso*-propyl-C(O)NH-$(CH_2)_4$-, *iso*-butyl-C(O)NH-$(CH_2)_4$-, phenyl-C(O)NH-$(CH_2)_4$-, benzyl-C(O)NH-$(CH_2)_4$-, allyl-C(O)NH-$(CH_2)_4$-, 4-(3-N-methylimidazolyl)-CH_2-, 4-[$(CH_3)_2NCH_2CH_2CH_2$-O-]benzyl, 4-[$(benzyl)_2$N-]-benzyl, 4-aminobenzyl, allyloxy-C(O)NH$(CH_2)_4$-, allyloxy-C(O)NH$(CH_2)_3$-, allyloxy-C(O)NH$(CH_2)_2$-, NH_2C(O)CH_2-, ϕ-CH=, 2-pyridyl-C(O)NH-$(CH_2)_4$-, 4-methylpyrid-3-yl-C(O)NH-$(CH_2)_4$-, 3-methylthien-2-yl-C(O)NH-$(CH_2)_4$-, 2-pyrrolyl-C(O)NH-$(CH_2)_4$-, 2-furanyl-C(O)NH-$(CH_2)_4$-, 4-methylphenyl-SO_2-N(CH_3)CH_2C(O)NH$(CH_2)_4$-, 4-[cyclopentylacetylenyl]-benzyl, 4-[-NHC(O)-(N-Boc)-pyrrolidin-2-yl)]-benzyl-, 1-N-methylimidazol-4-yl-CH_2-, 1-N-methylimidazol-5-yl-CH_2-, imidazol-5-yl-CH_2-, 6-methylpyrid-3-yl-C(O)NH-$(CH_2)_4$-, 4-[-NHC(O)NH$CH_2CH_2CH_2$-ϕ]-benzyl, 4-[-NHC(O)NHCH_2CH_2-ϕ]-benzyl, -CH_2C(O)NH$(CH_2)_4$ϕ, 4-[ϕ$(CH_2)_4$O-]-benzyl, 4-[-C≡C-ϕ-4′ϕ]-benzyl, 4-[-C≡C-CH_2-O-S(O)$_2$-4′-CH_3-ϕ]-benzyl, 4-[-C≡C-CH_2NHC(O)NH_2]-benzyl, 4-[-C≡C-CH_2-O-4′-COOCH_2CH_3-ϕ]-benzyl, 4-[-C≡C-CH(NH_2)-cyclohexyl]-benzyl, -$(CH_2)_4$NHC(O)CH_2-3-indolyl, -$(CH_2)_4$NHC(O)CH_2CH_2-3-indolyl, -$(CH_2)_4$NHC(O)-3-(5-methoxyindolyl), -$(CH_2)_4$NHC(O)-3-(1-methylindolyl), -$(CH_2)_4$NHC(O)-4-(-SO_2(CH_3)-ϕ), -$(CH_2)_4$NHC(O)-4-(C(O)CH_3)-phenyl, -$(CH_2)_4$NHC(O)-4-fluorophenyl, -$(CH_2)_4$NHC(O)CH_2O-4-fluorophenyl, 4-[-C≡C-(2-pyridyl)]-benzyl,

4-[-C≡C-CH_2-O-phenyl]-benzyl, 4-[-C≡C-CH_2OCH_3]-benzyl, 4-[-C≡C-(3-hydroxyphenyl)]-benzyl, 4-[-C≡C-CH_2-O-4′-(-C(O)OC_2H_5)phenyl]-benzyl, 4-[-C≡C-$CH_2CH(C(O)OCH_3)_2$]-benzyl, 4-[-C≡C-CH_2NH-(4,5-dihydro-4-oxo-5-phenyl-oxazol-2-yl), 3-aminobenzyl, 4-[-C≡C-$CH_2CH($ NHC(O)CH_3)C(O)OH]-benzyl, -CH_2C(O)NHCH(CH_3)ϕ, -CH_2C(O)$NHCH_2$-(4-dimethylamino)-ϕ, -CH_2C(O)$NHCH_2$-4-nitrophenyl, -CH_2CH_2C(O)N(CH_3)CH_2-ϕ, -CH_2CH_2C(O)$NHCH_2CH_2$-(N-methyl)-2-pyrrolyl, -CH_2CH_2C(O)$NHCH_2CH_2CH_2CH_3$, -CH_2CH_2C(O)$NHCH_2CH_2$-3-indolyl, -CH_2C(O)N(CH_3)CH_2phenyl, -CH_2C(O)$NH(CH_2)_2$-(N-methyl)-2-pyrrolyl, -CH_2C(O)$NHCH_2CH_2CH_2CH_3$, -CH_2C(O)$NHCH_2CH_2$-3-indolyl, -$(CH_2)_2$C(O)NHCH(CH_3)ϕ, -$(CH_2)_2$C(O)$NHCH_2$-4-dimethylaminophenyl, -$(CH_2)_2$C(O)$NHCH_2$-4-nitrophenyl, -CH_2C(O)NH-4-[-NHC(O)CH_3-phenyl], -CH_2C(O)NH-4-pyridyl, -CH_2C(O)NH-4-[dimethylaminophenyl], -CH_2C(O)NH-3-methoxyphenyl, -CH_2CH_2C(O)NH-4-chlorophenyl, -CH_2CH_2C(O)NH-2-pyridyl, -CH_2CH_2C(O)NH-4-methoxyphenyl, -CH_2CH_2C(O)NH-3-pyridyl, 4-[$(CH_3)_2NCH_2CH_2O$-]-benzyl, -$(CH_2)_3$NHC(NH)NH-SO_2-4-methylphenyl, 4-[$(CH_3)_2NCH_2CH_2O$-]-benzyl, -$(CH_2)_4$NHC(O)$NHCH_2CH_3$, -$(CH_2)_4$NHC(O)NH-phenyl, -$(CH_2)_4$NHC(O)NH-4-methoxyphenyl, 4-[4′-pyridyl-C(O)NH-]-benzyl, 4-[3′-pyridyl-C(O)NH-]-benzyl, 4-[-NHC(O)NH-3′-methylphenyl]-benzyl, 4-[-NHC(O)CH_2NHC(O)NH-3′-methylphenyl]-benzyl, 4-[-NHC(O)-(2′,3′-dihydroindol-2-yl)]-benzyl, 4-[-NHC(O)-(2′,3′-dihydro-N-Boc-indol-2-yl)]-benzyl, p-[-OCH_2CH_2-1′-(4′-pyrimidinyl)-piperazinyl]-benzyl, 4-[-OCH_2CH_2-(1′-piperidinyl)]-benzyl, 4-[-OCH_2CH_2-(1′-pyrrolidinyl)]-benzyl, 4-[-$OCH_2CH_2CH_2$-(1′-piperidinyl)]-benzyl-, -CH_2-3-(1,2,4-triazolyl), 4-[-$OCH_2CH_2CH_2$-4-(3′-chlorophenyl)-piperazin-1-yl]-benzyl, 4-[-OCH_2CH_2N(ϕ)CH_2CH_3]-benzyl, 4-[-OCH_2-3′-(N-Boc)-piperidinyl]-benzyl, 4-[di-*n*-pentylamino]-benzyl, 4-[*n*-pentylamino]-benzyl, 4-[di-*iso*-propylamino-CH_2CH_2O-]-benzyl, 4-[-OCH_2CH_2-(N-morpholinyl)]-benzyl, 4-[-O-(3′-(N-Boc)-piperidinyl]-benzyl, 4-[-OCH_2CH(NHBoc)CH_2cyclohexyl]-benzyl, *p*-[OCH_2CH_2-(N-piperidinyl]-benzyl,

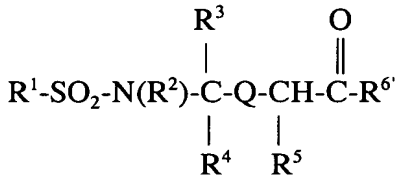
4-[-$OCH_2CH_2CH_2$-(4-*m*-chlorophenyl)-piperazin-1-yl]-benzyl, 4-[-OCH_2CH_2-(N-homopiperidinyl)-benzyl, 4-[-NHC(O)-3′-(N-Boc)-piperidinyl]-benzyl, 4-[-$OCH_2CH_2N(benzyl)_2$]-benzyl, -CH_2-2-thiazolyl, 3-hydroxybenzyl, 4-[-$OCH_2CH_2CH_2N(CH_3)_2$]-benzyl, 4-[-NHC(S)$NHCH_2CH_2$-(N-morpholino)]-benzyl, 4-[-$OCH_2CH_2N(C_2H_5)_2$]-benzyl, 4-[-$OCH_2CH_2CH_2N(C_2H_5)_2$]-benzyl, 4-[$CH_3(CH_2)_4NH$-]-benzyl, 4-[N-*n*-butyl,N-*n*-pentylamino-]benzyl, 4-[-NHC(O)-4′-piperidinyl]benzyl, 4-[-NHC(O)CH(NHBoc)$(CH_2)_4NHCbz$]-benzyl, 4-[-NHC(O)-(1′,2′,3′,4′-tetrahydro-N-Boc-isoquinolin-1′-yl]-benzyl, p-[-$OCH_2CH_2CH_2$-1′-(4′-methyl)-piperazinyl]-benzyl, -$(CH_2)_4NH$-Boc, 3-[-$OCH_2CH_2CH_2N(CH_3)_2$]-benzyl, 4-[-$OCH_2CH_2CH_2N(CH_3)_2$]-benzyl, 3-[-OCH_2CH_2-(1′-pyrrolidinyl)]-benzyl, 4-[-$OCH_2CH_2CH_2N(CH_3)$benzyl]-benzyl, 4-[-NHC(S)$NHCH_2CH_2CH_2$-(N-morpholino)]-benzyl, 4-[-OCH_2CH_2-(N-morpholino)]-benzyl, 4-[-$NHCH_2$-(4′-chlorophenyl)]-benzyl, 4-[-NHC(O)NH-(4′-cyanophenyl)]-benzyl, 4-[-OCH_2COOH]-benzyl, 4-[-OCH_2COO-*t*-butyl]-benzyl, 4-[-NHC(O)-5′-fluoroindol-2-yl]-benzyl, 4-[-NHC(S)$NH(CH_2)_2$-1-piperidinyl]-benzyl, 4-[-$N(SO_2CH_3)(CH_2)_3$-$N(CH_3)_2$]-benzyl, 4-[-NHC(O)$CH_2CH(C(O)OCH_2\phi)$-NHCbz]-benzyl, 4-[-$NHS(O)_2CF_3$]-benzyl, 3-[-O-(N-methylpiperidin-4′-yl]-benzyl, 4-[-C(=NH)NH_2]-benzyl, 4-[-$NHSO_2$-CH_2Cl]-benzyl, 4-[-NHC(O)-(1′,2′,3′,4′-tetrahydroisoquinolin-2′-yl]-benzyl, 4-[-NHC(S)$NH(CH_2)_3$-N-morpholino]-benzyl, 4-[-NHC(O)$CH(CH_2CH_2CH_2CH_2NH_2)$NHBoc]-benzyl, 4-[-$C(O)NH_2$]-benzyl, 4-[-NHC(O)NH-3′-methoxyphenyl]-benzyl, 4-[-OCH_2CH_2-indol-3′-yl]-benzyl, 4-[-$OCH_2C(O)$NH-benzyl]-benzyl, 4-[-$OCH_2C(O)O$-benzyl]-benzyl, 4-[-$OCH_2C(O)OH$]-benzyl, 4-[-OCH_2-2′-(4′,5′-dihydro)imidazolyl]-benzyl, -$CH_2C(O)NHCH_2$-(4-dimethylamino)phenyl, -$CH_2C(O)NHCH_2$-(4-dimethylamino)phenyl, 4-[-NHC(O)-L-2′-pyrrolidinyl-N-SO_2-4′-methylphenyl]-benzyl, 4-[-NHC(O)$NHCH_2CH_2CH_3$]-benzyl, [4-aminobenzyl]-benzyl, 4-[-OCH_2CH_2-1-(4-hydroxy-4-(3-methoxypyrrol-2-yl)-piperazinyl]-benzyl, 4-[-O-(N-methylpiperidin-4′-yl)]-benzyl, 3-methoxybenzyl, 4-[-NHC(O)-piperidin-3′-yl]-benzyl, 4-[-NHC(O)-pyridin-2′-yl]-benzyl, 4-[-$NHCH_2$-(4′-chlorophenyl)]-benzyl, 4-[-NHC(O)-(N-(4′-CH3-ϕ-SO_2)-L-pyrrolidin-2′-yl)]-benzyl, 4-[-NHC(O)$NHCH_2CH_2$-ϕ]-benzyl, 4-[-$OCH_2C(O)NH_2$]-benzyl,

4-[-$OCH_2C(O)NH$-*t*-butyl]-benzyl, 4-[-OCH_2CH_2-1-(4-hydroxy-4-phenyl)-piperidinyl]-benzyl, 4-[-$NHSO_2$-$CH=CH_2$]-benzyl, 4-[-$NHSO_2$-CH_2CH_2Cl]-benzyl, -$CH_2C(O)NHCH_2CH_2N(CH_3)_2$, 4-[(1′-Cbz-piperidin-4′-yl)C(O)NH-]benzyl, 4-[(1′-Boc-piperidin-4′-yl)C(O)NH-]benzyl, 4-[(2′-bromophenyl)C(O)NH-]benzyl, 4-[-NHC(O)-pyridin-4′-yl]-benzyl, 4-[(4′-$(CH_3)_2NC(O)O$-)phenyl)-C(O)NH-]benzyl, 4-[-NHC(O)-1′-methylpiperidin-4′-yl-]benzyl, 4-(dimethylamino)benzyl, 4-[-NHC(O)-(1′-N-Boc)-piperidin-2′-yl]-benzyl, 3-[-NHC(O)-pyridin-4′-yl]-benzyl, 4-[(*tert*-butyl-O(O)CCH_2-O-benzyl)-NH-]benzyl, [$BocNHCH_2C(O)NH$-]butyl, 4-benzyl-benzyl, 2-hydroxyethyl, 4-[$(Et)_2NCH_2CH_2CH_2NHC(S)NH$-]benzyl, 4-[(1′-Boc-4′-hydroxypyrrolidin-2′-yl)C(O)NH-]benzyl, 4-[$\phi CH_2CH_2CH_2NHC(S)NH$-]benzyl, 4-[(perhydroindolin-2′-yl)C(O)NH-]benzyl, 2-[4-hydroxy-4-(3-methoxythien-2-yl)piperidin-1-yl]ethyl, 4-[(1′-Boc-perhydroindolin-2′-yl)-C(O)NH-]benzyl, 4-[*N*-3-methylbutyl-*N*-trifluoromethanesulfonyl)amino]-benzyl, 4-[*N*-vinylsulfonyl)amino]benzyl-, 4-[2-(2-azabicyclo[3.2.2]octan-2-yl)ethyl-O-]benzyl, 4-[4′-hydroxypyrrolidin-2′-yl)C(O)NH-]benzyl, 4-(ϕNHC(S)NH)benzyl, 4-(EtNHC(S)NH)benzyl,4-(ϕCH_2NHC(S)NH)benzyl, 3-[(1′-Boc-piperidin-2′-yl)C(O)NH-]benzyl, 3-[piperidin-2′-yl-C(O)NH-]benzyl, 4-[(3′-Boc-thiazolidin-4′-yl)C(O)NH-]benzyl, 4-(pyridin-3′-yl-NHC(S)NH)benzyl, 4-(CH_3-NHC(S)NH)benzyl-, 4-($H_2NCH_2CH_2CH_2C(O)NH$)benzyl, 4-($BocHNCH_2CH_2CH_2C(O)NH$)benzyl, 4-(pyridin-4′-yl-CH_2NH)benzyl, 4-[(*N*,*N*-di(4-*N*,*N*-dimethylamino)benzyl)amino]benzyl, 4-[(1-Cbz-piperidin-4-yl)C(O)NH-]butyl, 4-[$\phi CH_2OCH_2(BocHN)CHC(O)NH$]benzyl, 4-[(piperidin-4′-yl)C(O)NH-]benzyl, 4-[(pyrrolidin-2′-yl)C(O)NH-]benzyl, 4-(pyridin-3′-yl-C(O)NH)butyl, 4-(pyridin-4′-yl-C(O)NH)butyl, 4-(pyridin-3′-yl-C(O)NH)benzyl, 4-[$CH_3NHCH_2CH_2CH_2C(O)NH$-]benzyl, 4-[$CH_3N(Boc)CH_2CH_2CH_2C(O)NH$-]benzyl, 4-(aminomethyl)benzyl, 4-[$\phi CH_2OCH_2(H_2N)CHC(O)NH$]benzyl, 4-[(1′,4′-di(Boc)piperazin-2′-yl)-C(O)NH-]benzyl, 4-[(piperazin-2′-yl)-C(O)NH-]benzyl, 4-[(*N*-toluenesulfonylpyrrolidin-2′-yl)C(O)NH-]butyl, 4-[-NHC(O)-4′-piperidinyl]butyl, 4-[-NHC(O)-1′-N-Boc-piperidin-2′-yl]-benzyl, 4-[-NHC(O)-piperidin-2′-yl]-benzyl, 4-[(1′-N-Boc-2′,3′-dihydroindolin-2′-yl)-C(O)NH]-benzyl, 4-(pyridin-3′-yl-CH_2NH)benzyl, 4-[(1′-Cbz-piperidin-4′-yl)C(O)NH-

]benzyl, 4-[(piperidin-1′-yl)C(O)CH_2-O-]benzyl, 4-[$(CH_3)_2CH)_2NC(O)CH_2$-O-]benzyl, 4-[HO(O)C(Cbz-NH)$CHCH_2CH_2$-C(O)NH-]benzyl, 4-[$\phi CH_2O(O)C$(Cbz-NH)$CHCH_2CH_2$-C(O)NH-]benzyl, 4-[-NHC(O)-2′-methoxyphenyl]-benzyl, 4-[(pyrazin-2′-yl)C(O)NH-]benzyl, 4-[HO(O)C(NH_2)$CHCH_2CH_2$-C(O)NH-]benzyl, 4-(2′-formyl-1′,2′,3′,4′-tetrahydroisoquinolin-3′-yl-CH_2NH-)benzyl, *N*-Cbz-$NHCH_2$-, 4-[(4′-methylpiperazin-1′-yl)C(O)O-]benzyl, 4-[CH_3(*N*-Boc)NCH_2C(O)NH-]benzyl, 4-[-NHC(O)-(1′,2′,3′,4′-tetrahydro-N-Boc-isoquinolin-3′-yl]-benzyl, 4-[CH_3NHCH_2C(O)NH-]benzyl, $(CH_3)_2NC(O)CH_2$-, 4-(*N*-methylacetamido)benzyl, 4-(1′,2′,3′,4′-tetrahydroisoquinolin-3′-yl-CH_2NH-)benzyl, 4-[$(CH_3)_2NHCH_2$C(O)NH-]benzyl, (1-toluenesulfonylimidizol-4-yl)methyl, 4-[(1′-Boc-piperidin-4′-yl)C(O)NH-]benzyl, 4-trifluoromethylbenzyl, 4-[(2′-bromophenyl)C(O)NH-]benzyl, 4-[$(CH_3)_2NC(O)NH$-]benzyl, 4-[$CH_3OC(O)NH$-]benzyl, 4-[$(CH_3)_2NC(O)O$-]benzyl, 4-[$(CH_3)_2NC(O)N(CH_3)$-]benzyl, 4-[$CH_3OC(O)N(CH_3)$-]benzyl, 4-(*N*-methyltrifluoroacetamido)benzyl, 4-[(1′-methoxycarbonylpiperidin-4′-yl)C(O)NH-]benzyl, 4-[(4′-phenylpiperidin-4′-yl)C(O)NH-]benzyl, 4-[(4′-phenyl-1′-Boc-piperidin-4′-yl)-C(O)NH-]benzyl, 4-[(piperidin-4′-yl)C(O)O-]benzyl, 4-[(1′-methylpiperidin-4′-yl)-O-]benzyl, 4-[(1′-methylpiperidin-4′-yl)C(O)O-]benzyl, 4-[(4′-methylpiperazin-1′-yl)C(O)NH-]benzyl, 3-[$(CH_3)_2NC(O)O$-]benzyl, 4-[(4′-phenyl-1′-Boc-piperidin-4′-yl)-C(O)O-]benzyl, 4-(*N*-toluenesulfonylamino)benzyl, 4-[$(CH_3)_3CC(O)NH$-]benzyl, 4-[(morpholin-4′-yl)C(O)NH-]benzyl, 4-[$(CH_3CH_2)_2NC(O)NH$-]benzyl, 4-[-C(O)NH-(4′-piperidinyl)]benzyl, 4-[(2′-trifluoromethylphenyl)C(O)NH-]benzyl, 4-[(2′-methyl-phenyl)C(O)NH-]benzyl, 4-[$(CH_3)_2NS(O)_2O$-]benzyl, 4-[(pyrrolidin-2′-yl)C(O)NH-]benzyl, 4-[-NHC(O)-piperidin-1′-yl]benzyl, 4-[(thiomorpholin-4′-yl)C(O)NH-]benzyl, 4-[(thiomorpholin-4′-yl sulfone)-C(O)NH-]benzyl, 4-[(morpholin-4′-yl)C(O)O-]benzyl, 3-nitro-4-($CH_3OC(O)CH_2O$-)benzyl, (2-benzoxazolinon-6-yl)methyl-, (2*H*-1,4-benzoxazin-3(4*H*)-one-7-yl)methyl-, 4-[$(CH_3)_2NS(O)_2NH$-]benzyl, 4-[$(CH_3)_2NS(O)_2N(CH_3)$-]benzyl, 4-[(thiomorpholin-4′-yl)C(O)O-]benzyl, 4-[(thiomorpholin-4′-yl sulfone)-C(O)O-]benzyl, 4-[(piperidin-1′-yl)C(O)O-]benzyl, 4-[(pyrrolidin-1′-yl)C(O)O-]benzyl, 4-[(4′-methylpiperazin-1′-yl)C(O)O-]benzyl, 4-[(2′-methylpyrrolidin-1′-yl)-,

(pyridin-4-yl)methyl-, 4-[(piperazin-4′-yl)-C(O)O-]benzyl, 4-[(1′-Boc-piperazin-4′-yl)-C(O)O-]benzyl, 4-[(4′-acetylpiperazin-1′-yl)C(O)O-]benzyl, *p*-[(4′-methanesulfonyl-piperazin-1′-yl)-benzyl, 3-nitro-4-[(morpholin-4′-yl)-C(O)O-]benzyl, 4-{[$(CH_3)_2NC(S)]_2N$-}benzyl, *N*-Boc-2-aminoethyl-, 4-[(1,1-dioxothiomorpholin-4-yl)-C(O)O-]benzyl, 4-[$(CH_3)_2NS(O)_2$-]benzyl, 4-[(piperidin-1′-yl)C(O)O-]benzyl, 1-N-benzyl-imidazol-4-yl-CH_2-, 3,4-dioxyethylenebenzyl, 3,4-dioxymethylenebenzyl, 4-[-$N(SO_2)(CH_3)CH_2CH_2$-$CH_2N(CH_3)_2$]benzyl, 4-[NHC(O)CH($CH_2CH_2CH_2CH_2NH_2$)NHBoc]-benzyl, [2′-[4″-hydroxy-4″-(3‴-methoxythien-2‴-yl)piperidin-2″-yl]ethoxy]benzyl, and *p*-[$(CH_3)_2NCH_2CH_2N(CH_3)C(O)O$-]benzyl.

16. (fourth amendment) A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound of the formula:



where

R^1 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, cycloalkyl, substituted cycloalkyl, heterocyclic, substituted heterocylic, heteroaryl and substituted heteroaryl;

R^2 and R^3 together with the nitrogen atom bound to R^2 and the carbon atom bound to R^3 form a heterocyclic or a substituted heterocyclic group selected from the group consisting of thiazolidinyl, piperidinyl and pyrrolidinyl wherein said substituted heterocylcic group consists of from 1 to 2 substituents selected from the group consisting of fluoro, methyl, hydroxyl, amino, phenyl, thiophenyl and thiobenzyl;

R^4 is selected from the group consisting of alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, and substituted heteroaryl;

R^5 is selected from the group consisting of isopropyl, $-CH_2X$ and $=CH-X$ where X is selected from the group consisting of:

hydrogen,

hydroxyl,

acylamino,

alkyl,

alkoxy,

aryloxy,

aryl,

aryloxyaryl,

carboxyl,

carboxylalkyl,

carboxyl-substituted alkyl,

carboxyl-cycloalkyl,

carboxyl-substituted cycloalkyl,

carboxylaryl,

carboxyl-substituted aryl,

carboxylheteroaryl,

carboxyl-substituted heteroaryl,

carboxylheterocyclic,

carboxyl-substituted heterocyclic,

cycloalkyl,

substituted alkyl

substituted alkoxy,

substituted aryl,

substituted aryloxy,

substituted aryloxyaryl,

substituted cycloalkyl,

heteroaryl,

substituted heteroaryl,

heterocyclic,

D3 and substituted heterocyclic;

wherein substituted aryl refers to aryl groups substituted with from 1 to 3 substituents selected from the group consisting of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2$-NRR where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, $-NRS(O)_2$-substituted alkyl, $-NRS(O)_2$-aryl, $-NRS(O)_2$-substituted aryl, $-NRS(O)_2$-heteroaryl, $-NRS(O)_2$-substituted heteroaryl, $-NRS(O)_2$-heterocyclic, $-NRS(O)_2$-substituted heterocyclic, $-NRS(O)_2$-NR-alkyl, $-NRS(O)_2$-NR-substituted alkyl, $-NRS(O)_2$-NR-aryl, $-NRS(O)_2$-NR-substituted aryl, $-NRS(O)_2$-NR-heteroaryl, $-NRS(O)_2$-NR-substituted heteroaryl, $-NRS(O)_2$-NR-heterocyclic, $-NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino,

mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups selected from the group consisting of Boc, Cbz, and formyl or substituted with $-SO_2NRR$ where R is hydrogen or alkyl; and

substituted heteroaryl refers to heteroaryl groups substituted with from 1 to 3 substituents selected of hydroxy, acyl, acylamino, thiocarbonylamino, acyloxy, alkyl, substituted alkyl, alkoxy, substituted alkoxy, alkenyl, substituted alkenyl, alkynyl, substituted alkynyl, amidino, alkylamidino, thioamidino, amino, aminoacyl, aminocarbonyloxy, aminocarbonylamino, aminothiocarbonylamino, aryloxy, substituted aryloxy, cycloalkoxy, substituted cycloalkoxy, heteroaryloxy, substituted heteroaryloxy, heterocyclyloxy, substituted heterocyclyloxy, carboxyl, carboxylalkyl, carboxyl-substituted alkyl, carboxyl-cycloalkyl, carboxyl-substituted cycloalkyl, carboxylaryl, carboxyl-substituted aryl, carboxylheteroaryl, carboxyl-substituted heteroaryl, carboxylheterocyclic, carboxyl-substituted heterocyclic, cyano, thiol, thioalkyl, substituted thioalkyl, thioaryl, substituted thioaryl, thioheteroaryl, substituted thioheteroaryl, thiocycloalkyl, substituted thiocycloalkyl, thioheterocyclic, substituted thioheterocyclic, cycloalkyl, substituted cycloalkyl, guanidino, guanidinosulfone, halo, nitro, heterocyclic, substituted heterocyclic, oxycarbonylamino, oxythiocarbonylamino, $-S(O)_2$-alkyl, $-S(O)_2$-substituted alkyl, $-S(O)_2$-cycloalkyl, $-S(O)_2$-substituted cycloalkyl, $-S(O)_2$-alkenyl, $-S(O)_2$-substituted alkenyl, $-S(O)_2$-aryl, $-S(O)_2$-substituted aryl, $-S(O)_2$-heteroaryl, $-S(O)_2$-substituted heteroaryl, $-S(O)_2$-heterocyclic, $-S(O)_2$-substituted heterocyclic, $-OS(O)_2$-alkyl, $-OS(O)_2$-substituted alkyl, $-OS(O)_2$-aryl, $-OS(O)_2$-substituted aryl, $-OS(O)_2$-heteroaryl, $-OS(O)_2$-substituted heteroaryl, $-OS(O)_2$-heterocyclic, $-OS(O)_2$-substituted heterocyclic, $-OSO_2$-NRR where R is hydrogen or alkyl, $-NRS(O)_2$-alkyl, $-NRS(O)_2$-substituted alkyl, $-NRS(O)_2$-aryl, $-NRS(O)_2$-substituted aryl, $-NRS(O)_2$-heteroaryl, $-NRS(O)_2$-substituted heteroaryl, $-NRS(O)_2$-heterocyclic, $-NRS(O)_2$-substituted heterocyclic, $-NRS(O)_2$-NR-alkyl, $-NRS(O)_2$-NR-substituted alkyl,

$-NRS(O)_2$-NR-aryl, $-NRS(O)_2$-NR-substituted aryl, $-NRS(O)_2$-NR-heteroaryl, $-NRS(O)_2$-NR-substituted heteroaryl, $-NRS(O)_2$-NR-heterocyclic, $-NRS(O)_2$-NR-substituted heterocyclic where R is hydrogen or alkyl, mono- and di-alkylamino, mono- and di-(substituted alkyl)amino, mono- and di-arylamino, mono- and di-substituted arylamino, mono- and di-heteroarylamino, mono- and di-substituted heteroarylamino, mono- and di-heterocyclic amino, mono- and di-substituted heterocyclic amino, unsymmetric di-substituted amines having different substituents selected from alkyl, substituted alkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic and substituted heterocyclic and amino groups on the substituted aryl blocked by conventional blocking groups selected from the group consisting of Boc, Cbz, and formyl or substituted with $-SO_2NRR$ where R is hydrogen or alkyl;

with the proviso that when R^5 is $=CH-X$ then (H) is removed from the formula and X is not hydroxyl;

$R^{6'}$ is selected from the group consisting of 2,4-dioxo-tetrahydrofuran-3-yl (3,4-enol), hydroxyl, amino, alkoxy, substituted alkoxy, cycloalkoxy, substituted cycloalkoxy, -O-(N-succinimidyl), -NH-adamantyl, -O-cholest-5-en-3-β-yl, -NHOY where Y is hydrogen, alkyl, substituted alkyl, aryl, or substituted aryl, $-NH(CH_2)_pCOOY$ where *p* is an integer of from 1 to 8 and Y is as defined above, $-OCH_2NR^9R^{10}$ where R^9 is selected from the group consisting of -C(O)-aryl and -C(O)-substituted aryl and R^{10} is selected from the group consisting of hydrogen and $-CH_2COOR^{11}$ where R^{11} is alkyl, and $-NHSO_2Z$ where Z is alkyl, substituted alkyl, cycloalkyl, substituted cycloalkyl, aryl, substituted aryl, heteroaryl, substituted heteroaryl, heterocyclic or substituted heterocyclic;

Q is $-C(X')NR^7-$ wherein R^7 is selected from the group consisting of hydrogen and alkyl; and X' is selected from the group consisting of oxygen and sulfur;

or pharmaceutically acceptable salts thereof

with the proviso that

when R^1 is *p*-methylphenyl, R^2 and R^3 are joined together with the nitrogen atom pendent to R^2 and the carbon atom pendent to R^3 to form a pyrrolidinyl ring, R^4 is methyl, R^5 is *p*-hydroxybenzyl then $R^{6'}$ is not *t*-butoxy.